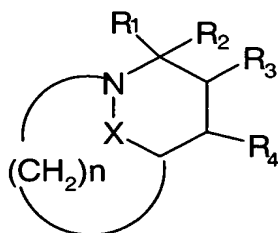


**CLAIMS**

1) A compound of the general formula:



(I)

in which either:

a) R<sub>1</sub> is a radical selected from the group consisting of hydrogen,

COOH, COOR, CN, (CH<sub>2</sub>)<sub>n</sub>R<sub>5</sub>, CONR<sub>6</sub>R<sub>7</sub> and  $\begin{array}{c} \text{NR}_6 \\ \text{C} \\ \text{NHR}_7 \end{array}$  ;

R is selected from the group consisting of an alkyl radical containing from 1 to 6 carbon atoms, optionally substituted with one or more halogen atoms or with a pyridyl radical; a -CH<sub>2</sub>-alkenyl radical containing in total from 3 to 9 carbon atoms; a (poly)alkoxyalkyl group containing 1 to 4 oxygen atoms and 3 to 10 carbon atoms; an aryl radical containing from 6 to 10 carbon atoms or an aralkyl radical containing from 7 to 11 carbon atoms, the nucleus of the aryl or aralkyl radical being optionally substituted with a radical selected from the group consisting of OH, NH<sub>2</sub>, NO<sub>2</sub>, alkyl containing from 1 to 6 carbon atoms, alkoxy containing from 1 to 6 carbon atoms and one or more halogen atoms;

R<sub>5</sub> is selected from the group consisting of COOH, CN, OH, NH<sub>2</sub>, CO-NR<sub>6</sub>R<sub>7</sub>, COOR and OR radicals, R being as defined above,

R<sub>6</sub> and R<sub>7</sub> are individually selected from the group consisting of hydrogen, an alkyl radical containing from 1 to 6 carbon atoms, an alkoxy radical containing from 1 to 6 carbon atoms, an aryl radical containing from 6 to 10 carbon atoms, an aralkyl radical containing from 7 to 11 carbon atoms and an alkyl radical containing from 1 to 6 carbon atoms which is substituted with a pyridyl radical;

n' is equal to 1 or 2,

R<sub>3</sub> and R<sub>4</sub>, together with the carbons to which they are attached, form a phenyl or a

5- or 6-membered aromatic heterocycle containing from 1 to 4 heteroatoms selected from nitrogen, oxygen and sulfur, which is substituted with one or more R' groups, R' being a radical selected from the group consisting of:

$-(O)_a-(CH_2)_b-(O)_a-CONR_6R_7$ ,  $-(O)_a-(CH_2)_b-OSO_3H$ ,  $-(O)_a-(CH_2)_b-SO_3H$ ,

5  $-(O)_a-SO_2R$ ,  $-(O)_a-SO_2-CHAl_3$ ,  $-(O)_a-(CH_2)_b-NR_6R_7$ ,

$-(O)_a-(CH_2)_b-NH-COOR$ ,  $-(CH_2)_b-COOH$ ,  $-(CH_2)_b-COOR$ ,  $-OR''$ ,  $OH$ ,

$-(CH_2)_b$ - phenyl, and

$-(CH_2)_b$  - 5- or 6-membered aromatic heterocycle containing from 1 to 4 heteroatoms selected from nitrogen, oxygen and sulfur,

10 each of said phenyl and said heterocycle being optionally substituted with one or more substituents selected from halogen, alkyl containing from 1 to 6 carbon atoms, alkoxy containing from 1 to 6 carbon atoms and  $CF_3$ ,

R,  $R_6$  and  $R_7$  being as defined above,

R'' being selected from alkyl radicals containing from 1 to 6 carbon atoms substituted with  
15 one or more radicals selected from hydroxy, protected hydroxy, oxo, halogen and cyano radicals,

a being equal to 0 or 1 and b being an integer from 0 to 6,

provided that, when R' is OH,  $R_1$  is  $CONR_6R_7$  in which one of  $R_6$  and  $R_7$  is an alkoxy containing from 1 to 6 carbon atoms; or

20 b)  $R_4$  is hydrogen or  $(CH_2)_{n'-1}R_5$ , wherein  $n'_1$  is 0, 1 or 2 and  $R_5$  is as defined above, and  $R_1$  and  $R_3$ , together with the carbons to which they are attached, form a substituted phenyl or heterocycle, as defined above;

and, in both cases a) and b),

$R_2$  is selected from the group consisting of hydrogen, halogen, R,  $S(O)_mR$ , OR, NHCOR, NHCOOR and  $NHSO_2R$ , R being as defined above and m being 0, 1 or 2,

X is a divalent group  $-C(O)-B-$  linked to the nitrogen atom by the carbon atom,

B is a divalent group selected from 1)  $-O-(CH_2)_{n''}-$  linked to the carbonyl by the oxygen atom, 2)  $-NR_8-(CH_2)_{n''}-$  and 3)  $-NR_8-O-$  linked to the carbonyl by the nitrogen atom,  $n''$  is 0 or 1 and  $R_8$  is a radical selected from the group consisting of hydrogen, OH, R, OR, Y, OY,  $Y_1$ ,  $OY_1$ ,  $Y_2$ ,  $OY_2$ ,  $Y_3$ ,  $O-CH_2-CH_2-S(O)_m-R$ ,  $SiRaRbRc$  and  $OSiRaRbRc$ , wherein  
30 each of Ra, Rb and Rc is a linear or branched alkyl containing from 1 to 6 carbon atoms or an aryl containing from 6 to 10 carbon atoms, and R and m are as defined above;

Y is selected from the group consisting of COH, COR, COOR,  $CONH_2$ , CONHR,

CONHOH, CONHSO<sub>2</sub>R, CH<sub>2</sub>COOH, CH<sub>2</sub>COOR, CHF-COOH, CHF-COOR, CF<sub>2</sub>-COOH, CF<sub>2</sub>-COOR, CN, CH<sub>2</sub>CN, CH<sub>2</sub>CONHOH, CH<sub>2</sub>CONHCN, CH<sub>2</sub>tetrazole, protected CH<sub>2</sub>tetrazole, CH<sub>2</sub>SO<sub>3</sub>H, CH<sub>2</sub>SO<sub>2</sub>R, CH<sub>2</sub>PO(OR)<sub>2</sub>, CH<sub>2</sub>PO(OR)(OH), CH<sub>2</sub>PO(R)(OH) and CH<sub>2</sub>PO(OH)<sub>2</sub>;

5           Y<sub>1</sub> is selected from the group consisting of SO<sub>2</sub>R, SO<sub>2</sub>NHCOH, SO<sub>2</sub>NHCOR, SO<sub>2</sub>NHCOOR, SO<sub>2</sub>NHCONHR, SO<sub>2</sub>NHCONH<sub>2</sub> and SO<sub>3</sub>H;

          Y<sub>2</sub> is selected from the group consisting of PO(OH)<sub>2</sub>, PO(OR)<sub>2</sub>, PO(OH)(OR) and PO(OH)(R);

          Y<sub>3</sub> is selected from the group consisting of tetrazole, tetrazole substituted with R, squarate, NH or NRtetrazole, NH or NRtetrazole substituted with R, NHSO<sub>2</sub>R, NRSO<sub>2</sub>R, CH<sub>2</sub>tetrazole and CH<sub>2</sub>tetrazole substituted with R, R being as defined above, and  
10           n is 1 or 2, or one of its salts with a base or an acid.

2)       The compound as claimed in claim 1, wherein n is 1.

15

3)       The compound as claimed in claim 1, wherein R<sub>2</sub> is a hydrogen atom.

4)       The compound as claimed in claim 1, wherein R<sub>3</sub> and R<sub>4</sub> together form a substituted phenyl or a substituted heterocycle.

20

5)       The compound as claimed in claim 4, wherein the substituted heterocycle is a substituted thienyl or a pyrazolyl substituted with one or more of the substituents therefor as defined in claim 1.

25       6)       The compound as claimed in claim 1, wherein R<sub>1</sub> is selected from the group consisting of hydrogen, COOCH<sub>3</sub>, COOC<sub>2</sub>H<sub>5</sub>, CONH<sub>2</sub>, CONHCH<sub>3</sub> and CONHOCH<sub>3</sub>.

7)       The compound as claimed in claim 1, wherein B is -NR<sub>8</sub>-(CH<sub>2</sub>)<sub>n</sub> in which n is 0.

30       8)       The compound as claimed in claim 1, wherein R<sub>8</sub> is OY in which Y is selected from the group consisting of CH<sub>2</sub>COOH, CH<sub>2</sub>COOR, CHF-COOH, CHF-COOR, CF<sub>2</sub>-COOH, CF<sub>2</sub>-COOR, CN, CH<sub>2</sub>CN, CH<sub>2</sub>CONHOH, CH<sub>2</sub>CONHCN, CH<sub>2</sub>tetrazole, protected CH<sub>2</sub>tetrazole, CH<sub>2</sub>SO<sub>3</sub>H, CH<sub>2</sub>SO<sub>2</sub>R, CH<sub>2</sub>PO(OR)<sub>2</sub>, CH<sub>2</sub>PO(OR)(OH), CH<sub>2</sub>PO(R)(OH) and

CH<sub>2</sub>PO(OH)<sub>2</sub> and OY<sub>1</sub> in which Y<sub>1</sub> is selected from the group consisting of SO<sub>2</sub>R, SO<sub>2</sub>NHCOR, SO<sub>2</sub>NHCOOR, SO<sub>2</sub>NHCONHR and SO<sub>3</sub>H, R being as defined in claim 1.

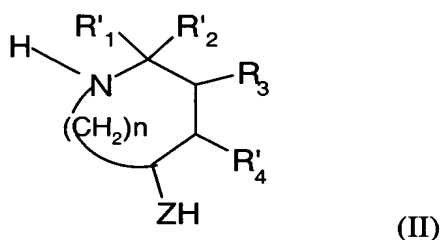
- 9) The compound as claimed in claim 1, wherein R' is selected from the group
- 5 consisting of -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, -CH<sub>2</sub>-CH<sub>2</sub>-NH<sub>2</sub>, -CH<sub>2</sub>-COOC<sub>2</sub>H<sub>5</sub>,  
 -CH<sub>2</sub>-CH<sub>2</sub>-phenyl, -CH<sub>2</sub>-phenyl, -O-CO-NHphenyl, -O-CO-NHC<sub>2</sub>H<sub>5</sub>,  
 -O-SO<sub>2</sub>-CF<sub>3</sub>, -O-(CH<sub>2</sub>)<sub>2</sub>-O-SO<sub>3</sub>H, -O-(CH<sub>2</sub>)<sub>2</sub>-O-CH<sub>3</sub>, -CH<sub>2</sub>-COOH,  
 -O-CH<sub>2</sub>-(2,2-dimethyl-1,3-dioxolan-4-yl), -CO-NH<sub>2</sub>, -CO-NH phenyl,  
 -CH<sub>2</sub>-(p-OCH<sub>3</sub> phenyl) and phenyl optionally substituted with a substituent selected from
- 10 CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, F and CF<sub>3</sub>.
- 10) A compound of formula (I), as defined in claim 1, selected from the group consisting of:
- the triethylammonium salt of 5,6-dihydro-6-oxo-N<sup>2</sup>-phenyl-5-(sulfoxy)-4*H*-4,7-methanopyrazolo[3,4-*e*][1,3]diazepine-2,8(8*H*)-dicarboxamide,
  - 15 - the sodium salt of 4,5,6,8-tetrahydro-6-oxo-5-(sulfoxy)-1*H*-4,7-methanopyrazolo[3,4-*e*][1,3]diazepine-1-carboxamide,
  - the sodium salt of 1,4,5,8-tetrahydro-1-[(4-methoxyphenyl)methyl]-5-(sulfoxy)-6*H*-4,7-methanopyrazolo[3,4-*e*][1,3]diazepin-6-one,
  - 20 - the sodium salt of trans-4,5,6,8-tetrahydro-2-(2-methylphenyl)-6-oxo-5-(sulfoxy)-4,7-methano-7*H*-thieno[2,3-*e*][1,3]diazepine-8-carboxamide,
  - the sodium salt of trans-4,5,6,8-tetrahydro-6-oxo-5-(sulfoxy)-2-[2-(trifluoromethyl)phenyl]-4,7-methano-7*H*-thieno[2,3-*e*][1,3]diazepine-8-carboxamide,
  - 25 - the sodium salt of trans-2-(2-ethylphenyl)-4,5,6,8-tetrahydro-6-oxo-5-(sulfoxy)-4,7-methano-7*H*-thieno[2,3-*e*][1,3]diazepine-8-carboxamide,
  - the sodium salt of trans-8-(2,3-dihydroxypropoxy)-1,2,3,5-tetrahydro-3-oxo-2-(sulfoxy)-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxamide,
  - the sodium salt of ethyl trans-3-(4-fluorophenyl)-4,6,7,8-tetrahydro-6-oxo-7-
  - 30 (sulfoxy)-5,8-methano-5*H*-thieno[2,3-*e*][1,3]diazepine-4-carboxylate,
  - the sodium salt of trans-2,5,6,8-tetrahydro-6-oxo-2-phenyl-5-(sulfoxy)-4*H*-4,7-methanopyrazolo[3,4-*e*][1,3]diazepine-8-carboxamide,
  - the sodium salt of 1,4,5,8-tetrahydro-1-phenyl-5-(sulfoxy)-6*H*-4,7-

- methanopyrazolo[3,4-e][1,3]diazepin-6-one,
- the sodium salt of trans-4,5,6,8-tetrahydro-6-oxo-1-phenyl-5-(sulfoxy)-1*H*-4,7-methanopyrazolo[3,4-e][1,3] diazepine-8-carboxamide,
  - the triethylammonium salt of methyl trans-2,5,6,8-tetrahydro-6-oxo-2-(phenylmethyl)-5-(sulfoxy)-4*H*-4,7-methanopyrazolo[3,4-e][1,3]diazepine-8-carboxylate,
  - the triethylammonium salt of methyl trans-4,5,6,8-tetrahydro-6-oxo-1-(2-phenylethyl)-5-(sulfoxy)-1*H*-4,7-methanopyrazolo[3,4-e][1,3]diazepine-8-carboxylate,
  - the triethylammonium salt of ethyl trans-4,5,6,8-tetrahydro-8-(methoxycarbonyl)-6-oxo-5-(sulfoxy)-1*H*-4,7-methanopyrazolo[3,4-e][1,3]diazepine-1-acetate,
  - the triethylammonium salt of ethyl trans-5,6-dihydro-8-(methoxycarbonyl)-6-oxo-5-(sulfoxy)-4*H*-4,7-methanopyrazolo[3,4-e][1,3]diazepine-2(8*H*)-acetate,
  - the di(triethylammonium) salt of trans-5,6-dihydro-8-(methoxycarbonyl)-6-oxo-5-sulfoxy-4*H*-4,7-methanopyrazolo[3,4-e][1,3]diazepine-2(8*H*)acetic acid,
  - the pyridinium salt of methyl trans-1-(aminocarbonyl)-4,5,6,8-tetrahydro-6-oxo-5-(sulfoxy)-1*H*-4,7-methanopyrazolo[3,4-e][1,3]diazepine-8-carboxylate,
  - the pyridinium salt of methyl trans-2-(aminocarbonyl)-2,5,6,8-tetrahydro-6-oxo-5-(sulfoxy)-4*H*-4,7-methanopyrazolo[3,4-e]diazepine-8-carboxylate,
  - the sodium salt of methyl trans-2-(4-fluorophenyl)-4,5,6,8-tetrahydro-6-oxo-5-(sulfoxy)-4,7-methano-7*H*-thieno[2,3-e][1,3]diazepine-8-carboxylate,
  - the sodium salt of methyl trans-2(aminocarbonyl)-4,5,6,8-tetrahydro-6-oxo-5-(sulfoxy)-4,7-methano-7*H*-thieno[2,3-e][1,3]diazepine-8-carboxylate,
  - the sodium salt of ethyl trans-1,2,3,5-tetrahydro-3-oxo-9-[[[(phenylamino)carbonyl]oxy]-2-(sulfoxy)-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxylate,
  - the sodium salt of trans-1,2,3,5-tetrahydro-N-methoxy-8-[(2-methoxyethoxy)methoxy]-3-oxo-2-(sulfoxy)-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxamide,
  - the sodium salt of ethyl trans-1,2,3,5-tetrahydro-3-oxo-8-[[[(phenylamino)carbonyl]oxy]-2-(sulfoxy)-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxylate,

- the sodium salt of ethyl trans-8-[[[(ethylamino)carbonyl]oxy]-1,2,3,5-tetrahydro-3-oxo-2-(sulfoxy)-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxylate,
- the sodium salt of ethyl trans-1,2,3,5-tetrahydro-3-oxo-2-(sulfoxy)-8-[[[trifluoromethyl)sulfonyl]oxy]-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxylate,
- the disodium salt of trans-1,2,3,5-tetrahydro-3-oxo-2-(sulfoxy)-8-[2-(sulfoxy)ethoxy]-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxamide,
- the sodium salt of trans-8-[(2,2-dimethyl-1,3-dioxolan-4-yl)methoxy]-1,2,3,5-tetrahydro-3-oxo-2-(sulfoxy)-1,4-methano-4*H*-2,4-benzodiazepine-5-carboxamide, and
- the triethylammonium salt of methyl trans-2,5,6,8-tetrahydro-6-oxo-(2-phenylethyl)-5-(sulfoxy)-4*H*-4,7-methanopyrazolo[3,4-*e*][1,3]diazepine-8-carboxylate.

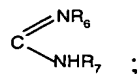
11. A method for preparing a compound as claimed in claim 1, which comprises:

- a) reacting a carbonylating agent, where appropriate in the presence of a base, with a compound of formula (II):



in which either:

- a)  $R'_1$  is selected from the group consisting of H, CN, protected COOH, COOR<sub>9</sub>, (CH<sub>2</sub>)<sub>n</sub>R'<sub>5</sub>, CONR<sub>6</sub>R<sub>7</sub> and



$R_9$  is selected from the group consisting of alkyl containing from 1 to 6 carbon atoms, optionally substituted with one or more halogen atoms or with a pyridyl; -CH<sub>2</sub>-alkenyl containing in total from 3 to 9 carbon atoms; aryl containing from 6 to 10 carbon atoms or aralkyl containing from 7 to 11 carbon atoms, the nucleus of the aryl or aralkyl being optionally substituted with a substituent selected from the group consisting of NO<sub>2</sub>,

protected OH, protected NH<sub>2</sub>, alkyl containing from 1 to 6 carbon atoms, alkoxy containing from 1 to 6 carbon atoms and one or more halogen atoms;

R'<sub>5</sub> is selected from the group consisting of protected OH, CN, protected NH<sub>2</sub>, CO-NR<sub>6</sub>R<sub>7</sub>, protected COOH, COOR<sub>9</sub>, and OR<sub>9</sub>, R<sub>9</sub> being as defined above;

5 n', R<sub>6</sub> and R<sub>7</sub> are as defined in claim 1;

R<sub>3</sub> and R'<sub>4</sub>, together with the carbons to which they are attached, form a phenyl or a 5- or 6-membered aromatic heterocycle containing from 1 to 4 heteroatoms selected from nitrogen, oxygen and sulfur, and optionally substituted with one or more R<sub>10</sub> groups, R<sub>10</sub> being selected from the group consisting of hydrogen; alkyl containing from 1 to 6 carbon atoms substituted with one or more substituents selected from hydroxy, oxo, halogen and cyano; alkenyl containing from 2 to 6 carbon atoms; halo; protected OH; -OR; and OR''; R'' being as defined above; -(CH<sub>2</sub>)<sub>b</sub>-phenyl and -(CH<sub>2</sub>)<sub>b</sub>-heterocycle, each of said phenyl and heterocycle being optionally substituted, as defined in claim 1; or

15 b) R'<sub>4</sub> represents a hydrogen atom or (CH<sub>2</sub>)<sub>n'</sub>R'<sub>5</sub>, n'<sub>1</sub> being 0, 1 or 2 and R'<sub>5</sub> being as defined above,

and R'<sub>1</sub> and R<sub>3</sub> together form an optionally substituted phenyl or heterocycle as defined above for R<sub>3</sub> and R'<sub>4</sub>;

and, in both cases a) and b),

20 R'<sub>2</sub> is selected from the group consisting of hydrogen, halogen, R<sub>9</sub>, S(O)<sub>m</sub>R<sub>9</sub>, OR<sub>9</sub>, NHCOH, NHCOR<sub>9</sub>, NHCOOR<sub>9</sub> and NHSO<sub>2</sub>R<sub>9</sub>, R<sub>9</sub> being as defined above and m being as defined in claim 1,

ZH is selected from the group consisting of HO-(CH<sub>2</sub>)<sub>n''</sub>, HNR'<sub>8</sub>-(CH<sub>2</sub>)<sub>n''</sub> and HNR'<sub>8</sub>-O-, n'' being as defined in claim 1 and R'<sub>8</sub> being selected from the group consisting of hydrogen, R<sub>9</sub>, protected OH, OR<sub>9</sub>, Y', OY', Y'<sub>1</sub>, OY'<sub>1</sub>, Y'<sub>2</sub>, OY'<sub>2</sub>, Y'<sub>3</sub>, O-CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>m</sub>-R'', SiRaRbRc and OSiRaRbRc, each of Ra, Rb and Rc individually being 25 a linear or branched alkyl containing from 1 to 6 carbon atoms or an aryl containing from 6 to 10 carbon atoms, R<sub>9</sub> and m being as defined above,

Y' is selected from the group consisting of COH, COR<sub>9</sub>, COOR<sub>9</sub>, CONH<sub>2</sub>, CONHR<sub>9</sub>, CONHSO<sub>2</sub>R<sub>9</sub>, CH<sub>2</sub>COOR<sub>9</sub>, protected CH<sub>2</sub>tetrazole, CH<sub>2</sub>SO<sub>2</sub>R<sub>9</sub>, CH<sub>2</sub>PO(OR<sub>9</sub>)<sub>2</sub>, 30 protected CONHOH, protected CH<sub>2</sub>COOH, protected CH<sub>2</sub>CONHOH, protected CH<sub>2</sub>SO<sub>3</sub>, protected CH<sub>2</sub>PO(OR)(OH), protected CH<sub>2</sub>PO(R)(OH) and protected CH<sub>2</sub>PO(OH)<sub>2</sub>,

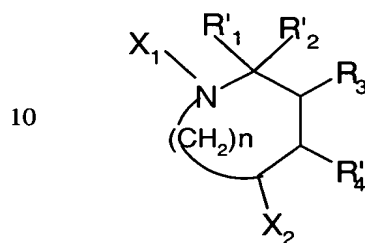
Y'<sub>1</sub> is selected from the group consisting of SO<sub>2</sub>R<sub>9</sub>, SO<sub>2</sub>NHCOH, SO<sub>2</sub>NHCOR<sub>9</sub>, SO<sub>2</sub>NHCOOR<sub>9</sub>, SO<sub>2</sub>NHCONH<sub>2</sub>, SO<sub>2</sub>NHCONHR<sub>9</sub> and protected SO<sub>3</sub>H,

$Y'_2$  is selected from the group consisting of  $PO(OR_9)_2$ , protected  $PO(OH)_2$ , protected  $PO(OH)(OR)$  and protected  $PO(OH)(R)$ ,

$Y'_3$  is selected from the group consisting of protected tetrazole, tetrazole substituted with  $R_9$ , protected squarate, protected Nhtetrazole, protected  $NR_9$ tetrazole, protected NH, NR<sub>9</sub>tetrazole substituted with  $R_9$ ,  $NHSO_2R_9$  and  $NSO_2R_9$ ,  $R_9$  being as defined above,

and  $n$  is as defined in claim 1;

in order to obtain an intermediate compound of formula (III):



(III)

15 in which:

$R'_1$ ,  $R'_2$ ,  $R_3$ ,  $R'_4$  and  $n$  have the same meanings as above and either  $X_1$  is hydrogen and  $X_2$  is  $-Z-CO-X_3$ ,  $X_3$  representing the residue of the carbonylating agent, or  $X_2$  is  $-ZH$  and  $X_1$  is  $CO-X_3$ ,  $X_3$  being as defined above; and

b) cyclizing said intermediate in the presence of a base; and

20 c) where appropriate, step a) is preceded and/or step b) is followed by one or more of the following reactions, in an appropriate order:

- protection of the reactive functional groups;
- deprotection of the reactive functional groups;
- esterification;
- 25 - saponification;
- sulfation;
- phosphatization;
- amidation;
- acylation;
- 30 - sulfonylation;
- alkylation;
- formation of a urea group;
- reduction of carboxylic acids;



- reduction of ketones and aldehydes to alcohols;
- salification;
- ion exchange;
- resolution or separation of diastereoisomers;
- 5       - oxidation of sulfide to sulfoxide and/or sulfone;
- oxidation of aldehyde to acid;
- oxidation of alcohol to ketone;
- halogenation or dehalogenation;
- carbamoylation;
- 10       - carboxylation;
- introduction of an azido group;
- reduction of an azido to amine;
- reactions of coupling of aromatic or heteroaromatic halides or triflates or of heterocyclic nitrogens with aryl- or heteroarylboronic acids;
- 15       - reactions of coupling of aromatic or heteroaromatic halides or triflates with stannyl-containing reagents;
- hydrogenation of double bonds;
- dihydroxylation of double bonds;
- cyanidation.

20

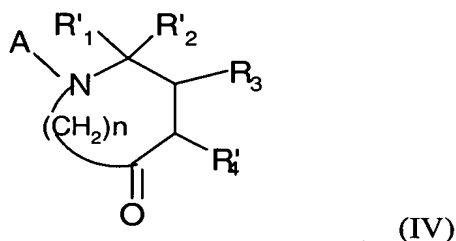
**12)** The method as claimed in claim 11, wherein the carbonylating agent is selected from the group consisting of phosgene, diphosgene, triphosgene, aryl, aralkyl, alkyl and alkenyl chloroformates, alkyl dicarbonates, carbonyldiimidazole and mixtures thereof.

25   **13)** The method as claimed in claim 11, wherein the carbonylation reaction occurs in the presence of a base.

**14)** The method as claimed in claim 11, wherein, in step b), the base is selected from the group consisting of amines, hydrides, alcoholates, amides and carbonates of alkali or  
30   alkaline earth metals.

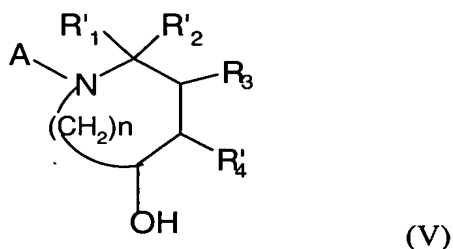
**15)** The method as claimed in claim 14, wherein the base is an amine.

16) The method as claimed in claim 11, wherein the compound of formula (II) in which ZH is selected from  $\text{HO}-(\text{CH}_2)_{n''}-$ ,  $\text{HNR}'_8-(\text{CH}_2)_{n''}-$  in which  $n''$  is 0, and  $\text{HNR}'_8-\text{O}-$ ,  $\text{R}'_8$  being as defined in claim 11, is obtained by a method wherein a compound of formula (IV):



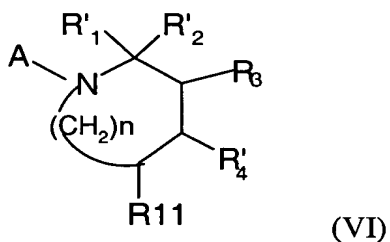
in which  $\text{R}'_1$ ,  $\text{R}'_2$  and  $n$  are as defined in claim 11,  $\text{R}_3$  and  $\text{R}'_4$  have the values defined in claim 11 or else values which are precursors of the values defined above and A represents hydrogen or a group protecting the nitrogen, is treated with a reducing agent, in order to obtain a compound of formula (V):

10



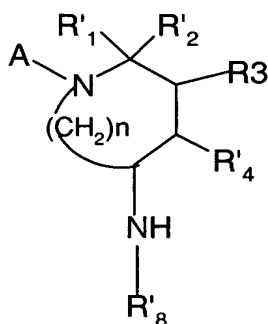
in which A,  $\text{R}'_1$ ,  $\text{R}'_2$ ,  $\text{R}_3$ ,  $\text{R}'_4$  and  $n$  are as defined in claim 11, and in which, where appropriate, the OH group is replaced with a leaving group, in order to obtain a compound of formula (VI):

15

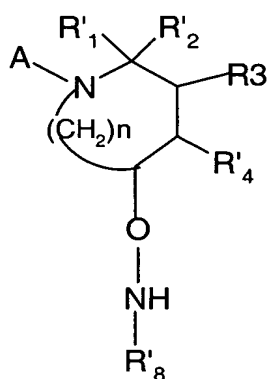


20 in which A,  $\text{R}'_1$ ,  $\text{R}'_2$ ,  $\text{R}_3$ ,  $\text{R}'_4$  and  $n$  are as defined in claim 11 and  $\text{R}_{11}$  represents a leaving group, which compound (VI) is then treated with a compound of formula  $\text{Z}_1\text{H}_2$  in which  $\text{Z}_1$

is a divalent group  $-NR'_8$  or  $-O-NR'_8$ ,  $R'_8$  being as defined in claim 11, in order to obtain a compound of formula (VIII) or (VIII'):



(VIII)

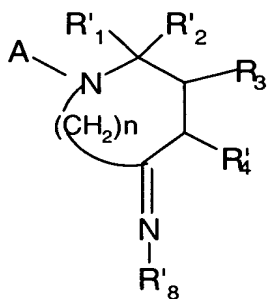


(VIII')

5

in which A,  $R'_1$ ,  $R'_2$ ,  $R_3$ ,  $R'_4$ ,  $n''$  and  $R'_8$  are as defined in claim 11, and then, where appropriate, with an appropriate agent for deprotecting the nitrogen atom, and wherein, where appropriate, the intermediate of formula (IV), (V), (VIII) or (VIII') is subjected to one or more of the reactions described in step c) of the method of claim 11, in an appropriate order.

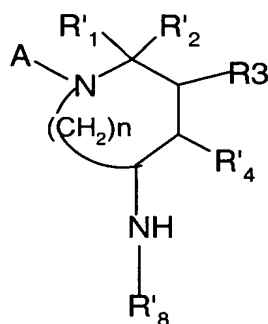
17) The method as claimed in claim 11, wherein the compound of formula (II) in which ZH is  $NHR'_8-(CH_2)_{n''}$  in which  $n''$  is 0 is obtained by a method in which a compound of formula (IV) as defined above is treated with a compound of formula  $H_2NR'_8$ , in order to obtain a compound of formula (VII):



(VII)

20

in which A, R'<sub>1</sub>, R'<sub>2</sub>, R<sub>3</sub>, R'<sub>4</sub>, n and R'<sub>8</sub> are as defined in claim 11, which compound of formula (VII) is reacted with a reducing agent in order to obtain a compound of formula (VIII):



(VIII)

in which A, R'<sub>1</sub>, R'<sub>2</sub>, R<sub>3</sub>, R'<sub>4</sub>, n'' and R'<sub>8</sub> are as defined in claim 11, which compound of formula (VIII) is treated, where appropriate, with an appropriate agent for deprotecting the nitrogen atom, and wherein, where appropriate, the intermediate of formula (VII) or (VIII) is subjected to one or more of the reactions described in step c) of the method of claim 11, in an appropriate order.

**18.** A method of treating a bacterial infection comprising administering to a mammal in need thereof an antibacterially effective amount of a compound as defined in claim 1, or a salt thereof with a pharmaceutically acceptable acid or base.

**19.** A method of treating a bacterial infection comprising administering to a mammal in need thereof an antibacterially effective amount of a compound as defined in claim 10, or a salt thereof with a pharmaceutically acceptable acid or base.

20

**20.** A pharmaceutical composition containing, as an active ingredient, at least one compound as claimed in claim 1.

**21.** A pharmaceutical composition containing, as an active ingredient, at least one compound as claimed in claim 10.

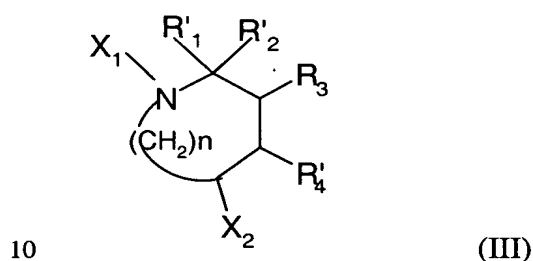
25

**22.** A pharmaceutical composition containing, as an active ingredient, at least one β-

lactamase inhibiting medicament as defined in claim 1 and at least one  $\beta$ -lactam medicament.

23. A pharmaceutical composition containing, as an active ingredient, at least one  $\beta$ -lactamase inhibiting medicament as defined in claim 10 and at least one  $\beta$ -lactam medicament.

24. A compound of general formula (III) or one of its salts with an acid:

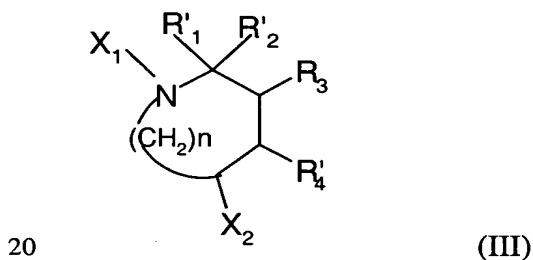


in which  $R_3$  and  $R'_4$  or  $R'_1$  and  $R_3$ , together with the carbon atoms to which they are attached, form a phenyl or an aromatic heterocycle, which is substituted with  $-(CH_2)_b$ -phenyl or  $-(CH_2)_b$ -aromatic heterocycle, which is optionally substituted, as defined in claim 11.

15

25. A compound of claim 24 wherein said salt is a hydrochloride or trifluoroacetate.

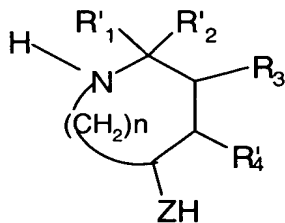
26. A compound of general formula (III) or one of its salts with an acid:



in which  $R'_1$  is  $CONR_6R_7$  in which  $R_6$  or  $R_7$  is an alkoxy radical containing from 1 to 6 carbon atoms, all the other values being as defined in claim 11.

- 25 27. A compound of claim 26 wherein said salt is a hydrochloride or trifluoroacetate.

28. A compound of formula (II) or one of its salts with an acid:



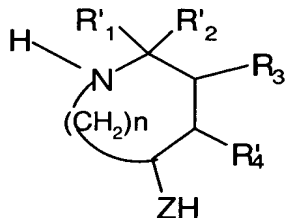
(II)

5 in which  $R_3$  and  $R'_4$  or  $R'_1$  and  $R_3$ , together with the carbons to which they are attached, form a phenyl or an aromatic heterocycle, which is substituted with  $-(CH_2)_b$ -phenyl or  $-(CH_2)_b$ -aromatic heterocycle, which is optionally substituted, as defined in claim 11.

29. A compound of claim 28 wherein said salt is a hydrochloride or trifluoroacetate.

10

30. A compound of formula (II) or one of its salts with an acid:

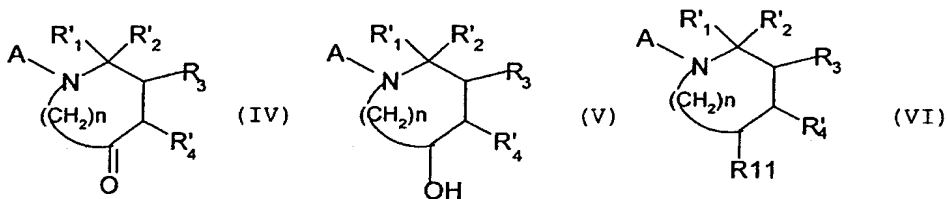


(II)

15 in which  $R'_1$  is  $CONR_6R_7$  in which  $R_6$  or  $R_7$  is an alkoxy radical containing from 1 to 6 carbon atoms, all the other values being as defined in claim 11.

31. A compound of claim 30 wherein said salt is a hydrochloride or trifluoroacetate.

32. A compound of formulae (IV), (V) or (VI) or one of its salts with an acid:



20

in which A and  $R_{11}$  are as defined in claim 16 and all the other values are as defined in

claim 11.

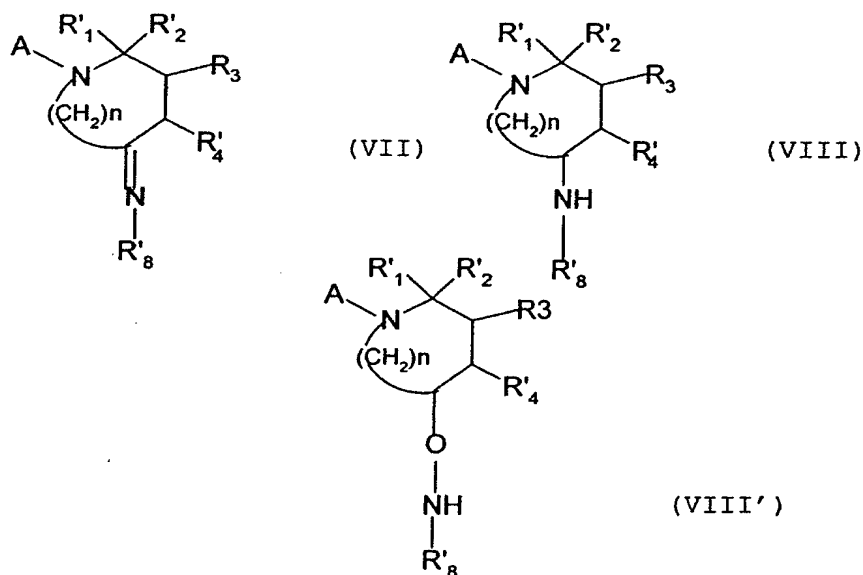
33. A compound of claim 32 wherein said salt is a hydrochloride or trifluoroacetate.

5 34. A compound selected from the compounds of formulae (IV), (V) and (VI) or one of its salts with an acid, in which  $R'_1$  is as defined in claim 11 and all the other values are as defined in claim 16.

35. A compound of claim 34 wherein said salt is a hydrochloride or trifluoroacetate.

10

36. A compound selected from the compounds of formulae (VII), (VIII) and (VIII') or one of its salts with an acid:



15 in which A and  $R'_8$  are as defined in claim 17 and all the other values are as defined in claim 11.

37. A compound of claim 36 wherein said salt is a hydrochloride or trifluoroacetate.

20 38. The compound of formulae (VII) and (VIII) or one of its salts with an acid, in which  $R'_1$  is as defined in claim 11 and all the other values are as defined in claim 17.

**39.** A compound of claim 38 wherein said salt is a hydrochloride or trifluoroacetate.

**40.** A method of treating a bacterial infection comprising administering to a mammal in need thereof a beta lactamase inhibiting effective amount of a compound as defined in claim 1, or a salt thereof with a pharmaceutically acceptable acid or base together with an antibacterially effective amount of a beta lactam medicament.

**41.** A method of treating a bacterial infection comprising administering to a mammal in need thereof a beta lactamase inhibiting effective amount of a compound as defined in claim 10, or a salt thereof with a pharmaceutically acceptable acid or base together with an antibacterially effective amount of a beta lactam medicament.